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* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	JAN 02	STN pricing information for 2008 now available
NEWS	3	JAN 16	CAS patent coverage enhanced to include exemplified prophetic substances
NEWS	4	JAN 28	USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS	5	JAN 28	MARPAT searching enhanced
NEWS	6	JAN 28	USGENE now provides USPTO sequence data within 3 days of publication
NEWS	7	JAN 28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS	8	JAN 28	MEDLINE and LMEDLINE reloaded with enhancements
NEWS	9	FEB 08	STN Express, Version 8.3, now available
NEWS	10	FEB 20	PCI now available as a replacement to DPCI
NEWS	11	FEB 25	IFIREF reloaded with enhancements
NEWS	12	FEB 25	IMSPRODUCT reloaded with enhancements
NEWS	13	FEB 29	WPINDEX/WPIDS/WPIX enhanced with ECLA and current U.S. National Patent Classification
NEWS	14	MAR 31	IFICDB, IFIPAT, and IFIUDB enhanced with new custom IPC display formats
NEWS	15	MAR 31	CAS REGISTRY enhanced with additional experimental spectra
NEWS	16	MAR 31	CA/CAplus and CASREACT patent number format for U.S. applications updated
NEWS	17	MAR 31	LPCI now available as a replacement to LDPCI
NEWS	18	MAR 31	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS	19	APR 04	STN AnaVist, Version 1, to be discontinued
NEWS	20	APR 15	WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats
NEWS	21	APR 28	EMBASE Controlled Term thesaurus enhanced
NEWS	22	APR 28	IMSRESEARCH reloaded with enhancements

NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 19:46:42 ON 20 MAY 2008

=> file registry
COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION
FULL ESTIMATED COST | 0.21 | 0.21

FILE 'REGISTRY' ENTERED AT 19:47:05 ON 20 MAY 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file
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STRUCTURE FILE UPDATES: 19 MAY 2008 HIGHEST RN 1021481-05-9
DICTIONARY FILE UPDATES: 19 MAY 2008 HIGHEST RN 1021481-05-9

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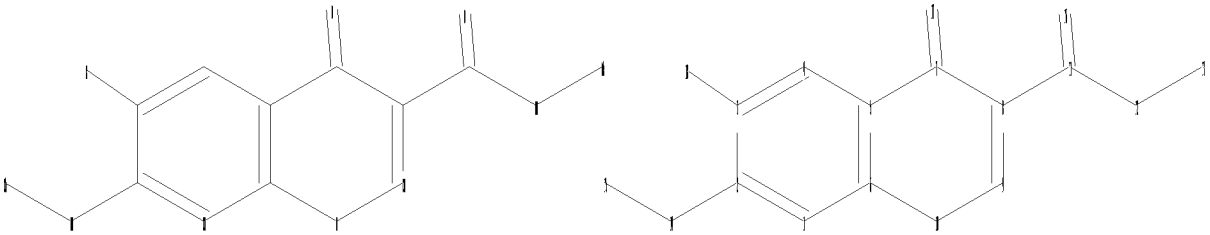
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10-562128genB.str

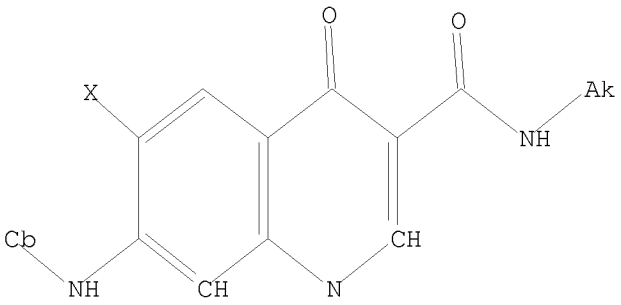


chain nodes :
11 12 13 14 15 16 17 18
ring nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
2-14 3-18 7-17 8-11 11-12 11-16 12-13 14-15
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10
exact/norm bonds :
2-14 5-7 6-10 7-8 7-17 8-9 9-10 11-12 11-16 12-13
exact bonds :
3-18 8-11 14-15
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS

L1   STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1   STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full
FULL SEARCH INITIATED 19:47:51 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   3676 TO ITERATE

100.0% PROCESSED   3676 ITERATIONS   482 ANSWERS
SEARCH TIME: 00.00.01

L2   482 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS   SINCE FILE   TOTAL
                                                         ENTRY   SESSION
FULL ESTIMATED COST   179.28   179.49

FILE 'CAPLUS' ENTERED AT 19:48:37 ON 20 MAY 2008
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FILE COVERS 1907 - 20 May 2008 VOL 148 ISS 21

FILE LAST UPDATED: 19 May 2008 (20080519/ED)

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=> s l2
L3 4 L2

=> d l3 1-4 abs ibib

L3 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

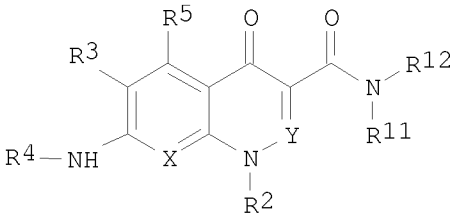
AB Process for producing compds. I [X = CR7, N; Y = CR6, N; R2 = (un)substituted alkyl, cycloalkyl, aryl, etc.; R3 = halo, alkyl, O-alkyl; R4 = (un)substituted cycloalkyl, non aromatic heterocycle, alkyl substituted by cycloalkyl; further detail on R4 is given.; R5 = H, halo, cyano, etc.; R6 = H, halo, alkyl, etc.; R7 = H, halo, alkyl, etc.; R11 = H, (un)substituted alkyl, optionally substituted amino by (un)substituted alkyl; R12 = H, (un)substituted alkyl, aryl; R11 and R12 may combine to form cyclic amino group in cooperation with the adjacent nitrogen.] or their pharmaceutically acceptable salts, characterized by reaction of compds. II [X, Y, R2-R5 = same as above] or active derivs. thereof with NHR11R12 [R11, R12 = same as above], was provided. For example, to a solution of compound III [R = OH; R' = cyclopentyl] (400 mg) in DMF (5.0 mL) was added 1,1'-carbonyldiimidazole (350 mg) at room temperature, the the reaction was stirred at 100 °C for 20 h. The resulting mixture was treated with Et3N (0.2 mL) and glycine Et ester hydrochloride (180 mg) at room temperature for 5 h to give compound III [R = NHCH2CO2Et; R' = cyclopentyl].

In platelet aggregation inhibition assays, compound III [R = NHCH2CH2P(:O)(OH)2; R' = 2,2-dimethyl-1,3-dioxan-5-yl] exhibited the activity of 92%.

ACCESSION NUMBER: 2006:882644 CAPLUS
DOCUMENT NUMBER: 145:292885
TITLE: Quinolone and related compounds as platelet aggregation inhibitors, and process for the preparation thereof
INVENTOR(S): Watanuki, Susumu; Koga, Yuji; Moritomo, Hiroyuki; Tsukamoto, Kazunari; Kaga, Daisuke; Okuda, Takao; Hirayama, Fukushi; Moritani, Yumiko; Takahashi, Atsushi
PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 95pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2006225379	A	20060831	JP 2006-9367	20060118
PRIORITY APPLN. INFO.:			JP 2005-12618 A	20050120
OTHER SOURCE(S):	MARPAT 145:292885			

L3 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
GI



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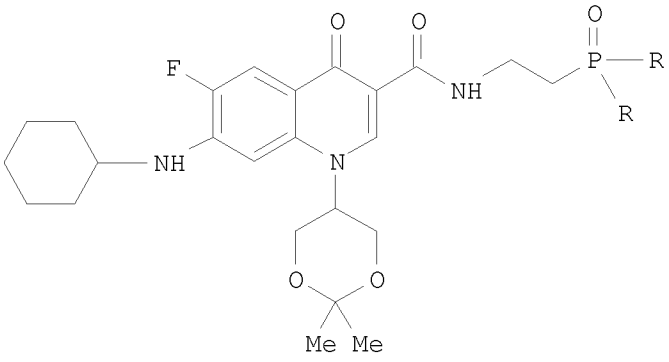


II

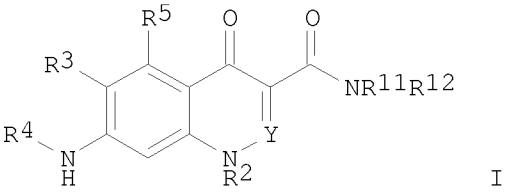
AB Title compds. I [X = CR7, N; Y = CR6, N; R11 = H, (un)substituted alkyl, optionally substituted amino by (un)substituted alkyl; R12 = H, (un)substituted alkyl, aryl; R11 and R12 may combine to form a (un)substituted cyclic amino group in cooperation with the adjacent nitrogen; R2 = (un)substituted alkyl, cycloalkyl, aryl, etc.; R3 = halo, alkyl, -O-alkyl; R4 = (un)substituted cycloalkyl, non aromatic heterocycle, alkyl substituted by cycloalkyl; further detail on R4 is given.; R5 = H, halo, cyano, etc.; R6 = H, halo, alkyl, etc.; R7 = H, halo, alkyl, etc.] and their pharmaceutically acceptable salts were prepared For example, Pd/C catalyzed debenzylation of compound II [R = OCH2Ph] under H2 afforded compound II [R = OH]. In platelet aggregation inhibition assays, compound II [R = OH] exhibited the activity of 92%.

ACCESSION NUMBER: 2006:882641 CAPLUS
DOCUMENT NUMBER: 145:292884
TITLE: Preparation of quinolone derivatives as platelet aggregation inhibitors
INVENTOR(S): Watanuki, Susumu; Koga, Yuji; Moritomo, Hiroyuki; Tsukamoto, Kazunari; Kaga, Daisuke; Okuda, Takao; Hirayama, Fukushi; Moritani, Yumiko; Takasaki, Atsushi
PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 95pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

JP 2006225378 A 20060831 JP 2006-9349 20060118
PRIORITY APPLN. INFO.: JP 2005-12561 A 20050120
OTHER SOURCE(S): MARPAT 145:292884

L3 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
GI



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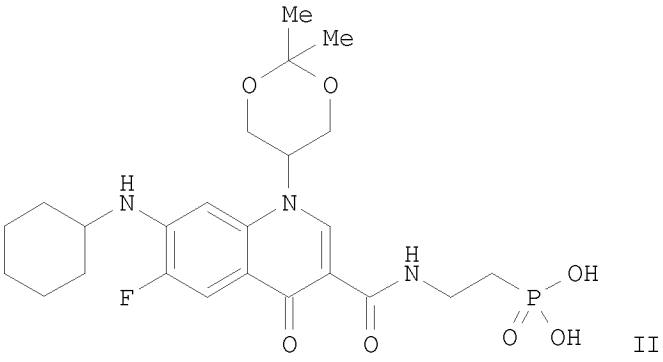


II

AB The title compds. (I) and pharmaceutically acceptable salts thereof characterized by each having an amide group at the 3-position which is substituted with a substituent having a carboxylate ester, phosphate ester, sulfate ester or the like, and an amino group at the 7-position which is substituted with a substituent having a ring structure [Y = C-R6; R6 = H, halo, lower alkyl, halo-lower alkyl; R2 = each (un)substituted lower alkyl, cycloalkyl, aryl, or heterocyclyl; R3 = halo; R5 = H, HO, halo; R11 = H, lower alkyl or lower alkyl-amino wherein lower alkyl is optionally substituted; R12 = (un)substituted lower alkyl] are prepared These compds. have excellent P2Y12 (adenine diphosphate receptor) inhibitory effect and platelet agglutination inhibitory effect and consequently are useful as platelet agglutination inhibitors. Thus, hydrogenolysis of [2-(([7-(Cyclohexylamino)-1-(2,2-dimethyl-1,3-dioxan-5-yl)-6-fluoro-4-oxo-1,4-dihydroquinolin-3-yl]carbonyl)amino)ethyl]phosphonic acid dibenzyl ester over 10% Pd-C in MeOH under hydrogen atmospheric for 3 h gave [2-(([7-(Cyclohexylamino)-1-(2,2-dimethyl-1,3-dioxan-5-yl)-6-fluoro-4-oxo-1,4-dihydroquinolin-3-yl]carbonyl)amino)ethyl]phosphonic acid (II). II inhibited ADP-induced aggregation of human blood platelet by 92% at 10 μM and the binding of [3H]-2-MeS-ADP to human P2Y12 by 96% at 30 nM.

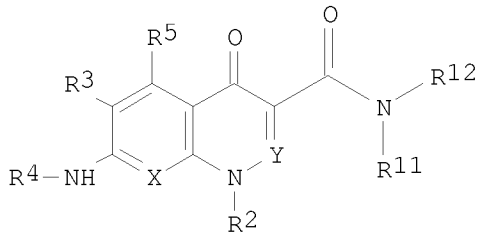
ACCESSION NUMBER: 2006:733081 CAPLUS
DOCUMENT NUMBER: 145:188746
TITLE: Preparation of 4-quinolone-3-carboxamide derivatives and salts thereof as platelet aggregation inhibitors
INVENTOR(S): Koga, Yuji; Okuda, Takao; Hirabayashi, Ryoji; Fujiyasu, Jiro; Miyazaki, Takehiro; Watanuki, Susumu; Hirayama, Fukushi; Moritani, Yumiko; Takasaki, Jun
PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan
SOURCE: PCT Int. Appl., 150 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006077851	A1	20060727	WO 2006-JP300590	20060118
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
JP 2008094720	A	20080424	JP 2005-12715	20050120

PRIORITY APPLN. INFO.: JP 2005-12715 A 20050120
OTHER SOURCE(S): MARPAT 145:188746
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
GI



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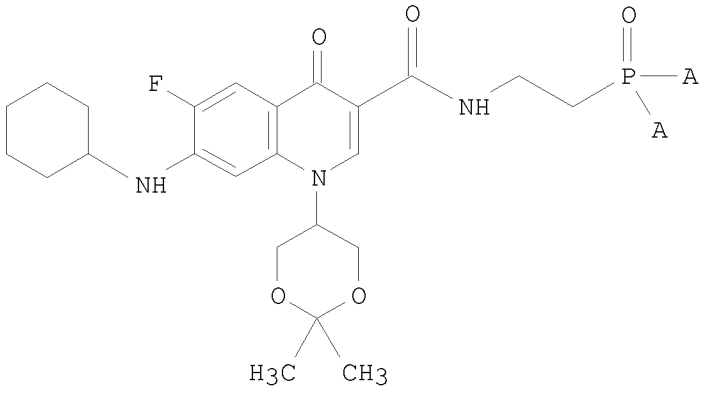

II

AB Title compds. I [X = CR7, N; Y = CR6, N; R11 = H, (un)substituted alkyl, etc.; R12 = H, (un)substituted alkyl, etc.; R2 = (un)substituted alkyl,

etc.; R3 = halo, etc.; R4 = (un)substituted cycloalkyl, etc.; R5 = H, halo, etc.; R6 = H, halo, etc.; R7 = H, halo, etc.] were prepared For example, hydrogenolysis of compound II [A = OCH2Ph] afforded compound II [A = OH]. In platelet aggregation inhibition assays, compound II [A = OH] exhibited inhibition activity of 92%. Compds. I are claimed useful as platelet aggregation inhibitors, P2Y12 inhibitors.

ACCESSION NUMBER: 2005:99478 CAPLUS
DOCUMENT NUMBER: 142:197896
TITLE: Preparation of quinolone derivatives as platelet aggregation inhibitors
INVENTOR(S): Watanuki, Susumu; Koga, Yuji; Moritomo, Hiroyuki; Tsukamoto, Issei; Kaga, Daisuke; Okuda, Takao; Hirayama, Fukushi; Moritani, Yumiko; Takasaki, Jun
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 120 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005009971	A1	20050203	WO 2004-JP10781	20040722
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2005053903	A	20050303	JP 2004-212326	20040720
CA 2530352	A1	20050203	CA 2004-2530352	20040722
EP 1650192	A1	20060426	EP 2004-748045	20040722
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1826321	A	20060830	CN 2004-80021187	20040722
US 20060148806	A1	20060706	US 2005-562128	20051223
IN 2006DN00144	A	20070824	IN 2006-DN144	20060109
MX 2006PA00675	A	20060419	MX 2006-PA675	20060118

PRIORITY APPLN. INFO.: JP 2003-278852 A 20030724
WO 2004-JP10781 W 20040722
OTHER SOURCE(S): MARPAT 142:197896
REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file registry

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	12.60	192.09

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-3.20	-3.20

FILE 'REGISTRY' ENTERED AT 19:49:47 ON 20 MAY 2008

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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 19 MAY 2008 HIGHEST RN 1021481-05-9
DICTIONARY FILE UPDATES: 19 MAY 2008 HIGHEST RN 1021481-05-9

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TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

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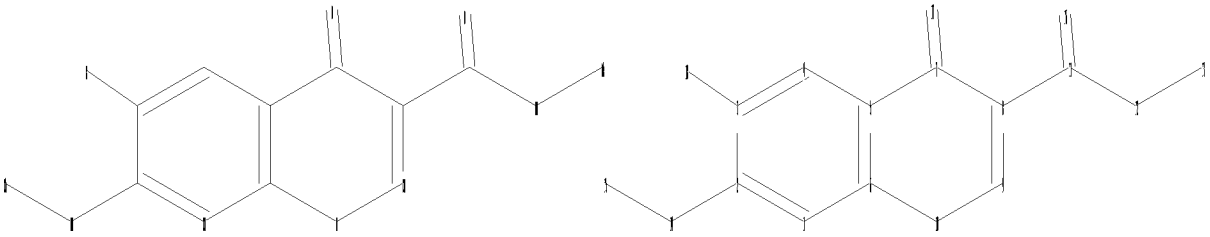

chain nodes :
11 12 13 14 15 16 17 18
ring nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
2-14 3-18 7-17 8-11 11-12 11-16 12-13 14-15
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10
exact/norm bonds :
2-14 5-7 6-10 7-8 7-17 8-9 9-10 11-12 11-16 12-13
exact bonds :
3-18 8-11 14-15
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS

L4   STRUCTURE UPLOADED

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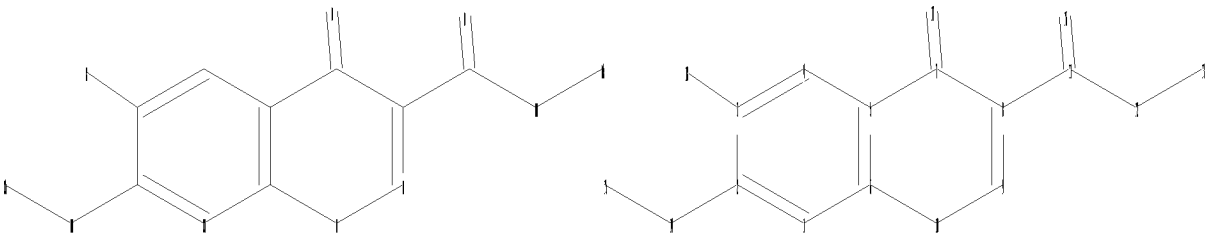

chain nodes :
11 12 13 14 15 16 17 18
ring nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
2-14 3-18 7-17 8-11 11-12 11-16 12-13 14-15
ring bonds :
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exact/norm bonds :
2-14 5-7 6-10 7-8 7-17 8-9 9-10 11-12 11-16 12-13
exact bonds :
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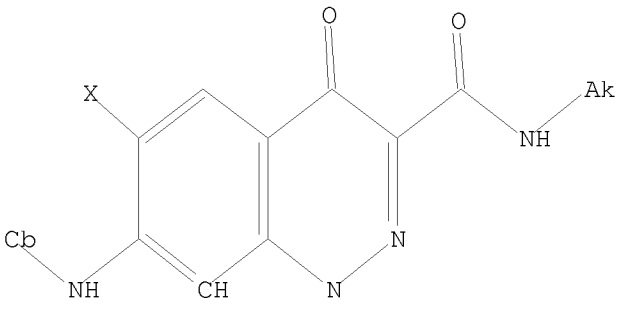
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS

L5 STRUCTURE UPLOADED

=> d l5
L5 HAS NO ANSWERS
L5 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l5 sss full
FULL SEARCH INITIATED 19:50:54 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 575 TO ITERATE

100.0% PROCESSED 575 ITERATIONS 13 ANSWERS
SEARCH TIME: 00.00.01

L6 13 SEA SSS FUL L5

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	178.82	370.91

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-3.20

FILE 'CAPLUS' ENTERED AT 19:51:05 ON 20 MAY 2008
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FILE COVERS 1907 - 20 May 2008 VOL 148 ISS 21
FILE LAST UPDATED: 19 May 2008 (20080519/ED)

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They are available for your review at:

http://www.cas.org/legal/infopolicy.html

=> s l6
L7 4 L6

=> d l7 1-4 abs ibib

L7 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Process for producing compds. I [X = CR7, N; Y = CR6, N; R2 = (un)substituted alkyl, cycloalkyl, aryl, etc.; R3 = halo, alkyl, O-alkyl; R4 = (un)substituted cycloalkyl, non aromatic heterocycle, alkyl substituted by cycloalkyl; further detail on R4 is given.; R5 = H, halo, cyano, etc.; R6 = H, halo, alkyl, etc.; R7 = H, halo, alkyl, etc.; R11 = H, (un)substituted alkyl, optionally substituted amino by (un)substituted alkyl; R12 = H, (un)substituted alkyl, aryl; R11 and R12 may combine to form cyclic amino group in cooperation with the adjacent nitrogen.] or their pharmaceutically acceptable salts, characterized by reaction of compds. II [X, Y, R2-R5 = same as above] or active derivs. thereof with NHR11R12 [R11, R12 = same as above], was provided. For example, to a

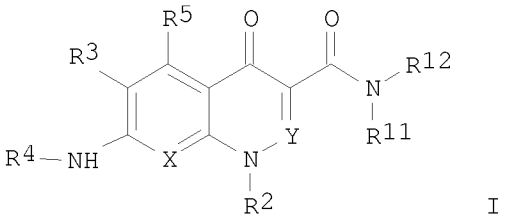
solution of compound III [R = OH; R' = cyclopentyl] (400 mg) in DMF (5.0 mL) was added 1,1'-carbonyldiimidazole (350 mg) at room temperature, the the reaction was stirred at 100 °C for 20 h. The resulting mixture was treated with Et3N (0.2 mL) and glycine Et ester hydrochloride (180 mg) at room temperature for 5 h to give compound III [R = NHCH2CO2Et; R' = cyclopentyl].

In platelet aggregation inhibition assays, compound III [R = NHCH2CH2P(:O)(OH)2; R' = 2,2-dimethyl-1,3-dioxan-5-yl] exhibited the activity of 92%.

ACCESSION NUMBER: 2006:882644 CAPLUS
DOCUMENT NUMBER: 145:292885
TITLE: Quinolone and related compounds as platelet aggregation inhibitors, and process for the preparation thereof
INVENTOR(S): Watanuki, Susumu; Koga, Yuji; Moritomo, Hiroyuki; Tsukamoto, Kazunari; Kaga, Daisuke; Okuda, Takao; Hirayama, Fukushi; Moritani, Yumiko; Takahashi, Atsushi
PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 95pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
JP 2006225379	A	20060831	JP 2006-9367		20060118
PRIORITY APPLN. INFO.:			JP 2005-12618	A	20050120
OTHER SOURCE(S):	MARPAT 145:292885				

L7 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
GI



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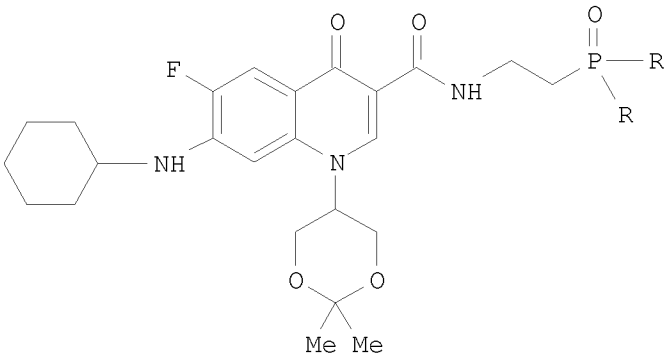

II

AB Title compds. I [X = CR7, N; Y = CR6, N; R11 = H, (un)substituted alkyl, optionally substituted amino by (un)substituted alkyl; R12 = H, (un)substituted alkyl, aryl; R11 and R12 may combine to form a (un)substituted cyclic amino group in cooperation with the adjacent nitrogen; R2 = (un)substituted alkyl, cycloalkyl, aryl, etc.; R3 = halo, alkyl, -O-alkyl; R4 = (un)substituted cycloalkyl, non aromatic heterocycle, alkyl substituted by cycloalkyl; further detail on R4 is given.; R5 = H, halo, cyano, etc.; R6 = H, halo, alkyl, etc.; R7 = H, halo, alkyl, etc.] and their pharmaceutically acceptable salts were prepared For example, Pd/C catalyzed debenzylation of compound II [R = OCH2Ph] under H2 afforded compound II [R = OH]. In platelet aggregation inhibition assays, compound II [R = OH] exhibited the activity of 92%.

ACCESSION NUMBER: 2006:882641 CAPLUS
DOCUMENT NUMBER: 145:292884
TITLE: Preparation of quinolone derivatives as platelet aggregation inhibitors
INVENTOR(S): Watanuki, Susumu; Koga, Yuji; Moritomo, Hiroyuki; Tsukamoto, Kazunari; Kaga, Daisuke; Okuda, Takao; Hirayama, Fukushi; Moritani, Yumiko; Takasaki, Atsushi
PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan
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CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
JP 2006225378	A	20060831	JP 2006-9349		20060118
PRIORITY APPLN. INFO.:			JP 2005-12561	A	20050120
OTHER SOURCE(S):	MARPAT 145:292884				

L7 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
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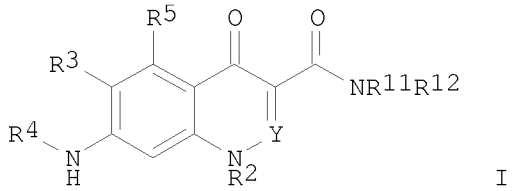

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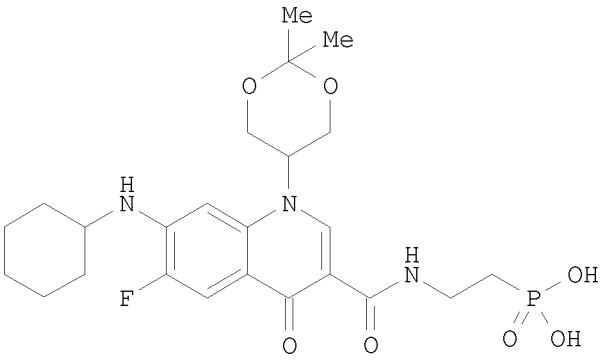


II

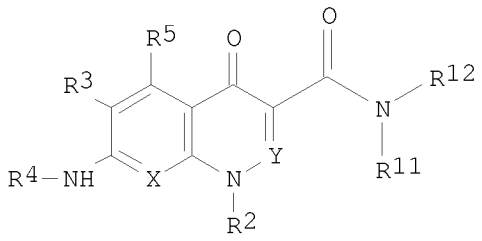
AB The title compds. (I) and pharmaceutically acceptable salts thereof characterized by each having an amide group at the 3-position which is substituted with a substituent having a carboxylate ester, phosphate ester, sulfate ester or the like, and an amino group at the 7-position which is substituted with a substituent having a ring structure [Y = C-R6; R6 = H, halo, lower alkyl, halo-lower alkyl; R2 = each (un)substituted lower alkyl, cycloalkyl, aryl, or heterocyclyl; R3 = halo; R5 = H, HO, halo; R11 = H, lower alkyl or lower alkyl-amino wherein lower alkyl is optionally substituted; R12 = (un)substituted lower alkyl] are prepared These compds. have excellent P2Y12 (adenine diphosphate receptor) inhibitory effect and platelet agglutination inhibitory effect and consequently are useful as platelet agglutination inhibitors. Thus, hydrogenolysis of [2-(([7-(Cyclohexylamino)-1-(2,2-dimethyl-1,3-dioxan-5-yl)-6-fluoro-4-oxo-1,4-dihydroquinolin-3-yl]carbonyl)amino)ethyl]phosphonic acid dibenzyl ester over 10% Pd-C in MeOH under hydrogen atmospheric for 3 h gave [2-(([7-(Cyclohexylamino)-1-(2,2-dimethyl-1,3-dioxan-5-yl)-6-fluoro-4-oxo-1,4-dihydroquinolin-3-yl]carbonyl)amino)ethyl]phosphonic acid (II). II inhibited ADP-induced aggregation of human blood platelet by 92% at 10 μM and the binding of [3H]-2-MeS-ADP to human P2Y12 by 96% at 30 nM.

ACCESSION NUMBER: 2006:733081 CAPLUS
DOCUMENT NUMBER: 145:188746
TITLE: Preparation of 4-quinolone-3-carboxamide derivatives and salts thereof as platelet aggregation inhibitors
INVENTOR(S): Koga, Yuji; Okuda, Takao; Hirabayashi, Ryoji; Fujiyasu, Jiro; Miyazaki, Takehiro; Watanuki, Susumu; Hirayama, Fukushi; Moritani, Yumiko; Takasaki, Jun
PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan
SOURCE: PCT Int. Appl., 150 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006077851	A1	20060727	WO 2006-JP300590	20060118
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
JP 2008094720	A	20080424	JP 2005-12715	20050120

PRIORITY APPLN. INFO.: JP 2005-12715 A 20050120
OTHER SOURCE(S): MARPAT 145:188746
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
GI



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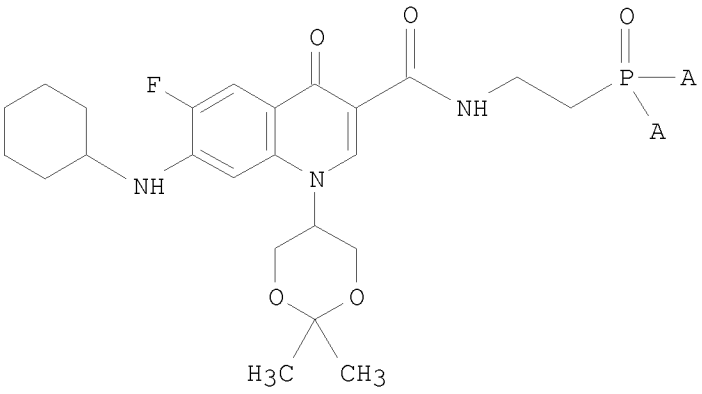

II

AB Title compds. I [X = CR7, N; Y = CR6, N; R11 = H, (un)substituted alkyl, etc.; R12 = H, (un)substituted alkyl, etc.; R2 = (un)substituted alkyl, etc.; R3 = halo, etc.; R4 = (un)substituted cycloalkyl, etc.; R5 = H, halo, etc.; R6 = H, halo, etc.; R7 = H, halo, etc.] were prepared For example, hydrogenolysis of compound II [A = OCH2Ph] afforded compound II [A = OH]. In platelet aggregation inhibition assays, compound II [A = OH] exhibited inhibition activity of 92%. Compds. I are claimed useful as platelet aggregation inhibitors, P2Y12 inhibitors.

ACCESSION NUMBER: 2005:99478 CAPLUS
DOCUMENT NUMBER: 142:197896
TITLE: Preparation of quinolone derivatives as platelet aggregation inhibitors
INVENTOR(S): Watanuki, Susumu; Koga, Yuji; Moritomo, Hiroyuki; Tsukamoto, Issei; Kaga, Daisuke; Okuda, Takao; Hirayama, Fukushi; Moritani, Yumiko; Takasaki, Jun
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 120 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005009971	A1	20050203	WO 2004-JP10781	20040722

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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,

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SN, TD, TG

JP 2005053903	A	20050303	JP 2004-212326	20040720
CA 2530352	A1	20050203	CA 2004-2530352	20040722
EP 1650192	A1	20060426	EP 2004-748045	20040722

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IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK

CN 1826321	A	20060830	CN 2004-80021187	20040722
US 20060148806	A1	20060706	US 2005-562128	20051223
IN 2006DN00144	A	20070824	IN 2006-DN144	20060109
MX 2006PA00675	A	20060419	MX 2006-PA675	20060118

PRIORITY APPLN. INFO.: JP 2003-278852 A 20030724
WO 2004-JP10781 W 20040722

OTHER SOURCE(S): MARPAT 142:197896
REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FULL ESTIMATED COST	12.12	383.03

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
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DICTIONARY FILE UPDATES: 19 MAY 2008 HIGHEST RN 1021481-05-9

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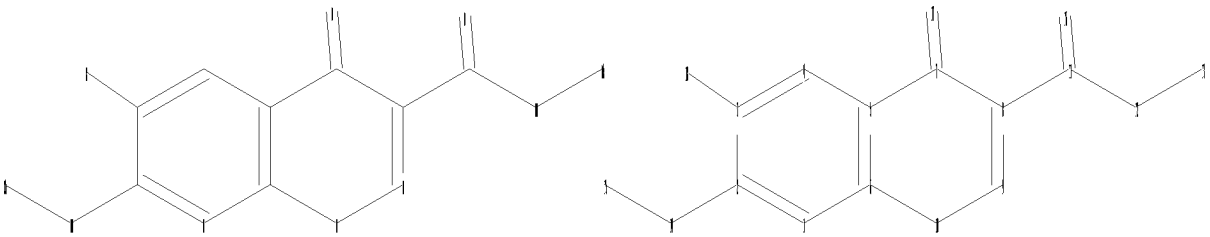

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ring nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
2-14 3-18 7-17 8-11 11-12 11-16 12-13 14-15
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10
exact/norm bonds :
2-14 5-7 6-10 7-8 7-17 8-9 9-10 11-12 11-16 12-13
exact bonds :
3-18 8-11 14-15
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

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1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS

L8 STRUCTURE UPLOADED

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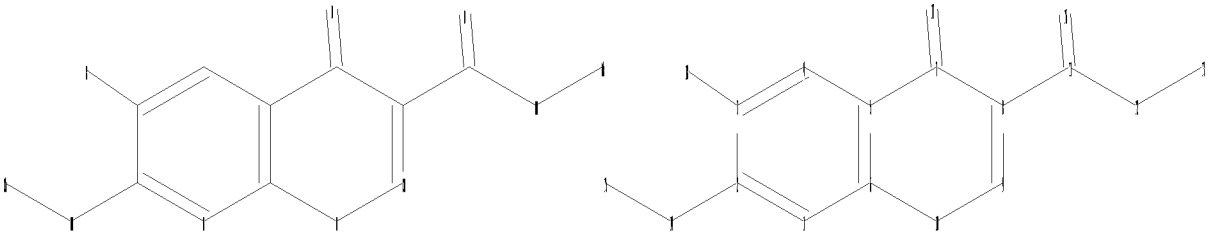

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ring nodes :

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ring bonds :
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exact/norm bonds :
2-14 5-7 6-10 7-8 7-17 8-9 9-10 11-12 11-16 12-13
exact bonds :
3-18 8-11 14-15
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS

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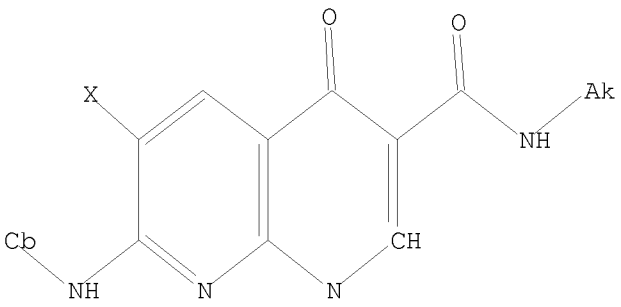

Structure attributes must be viewed using STN Express query preparation.

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FILE COVERS 1907 - 20 May 2008 VOL 148 ISS 21
FILE LAST UPDATED: 19 May 2008 (20080519/ED)

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L12 3 L11

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L12 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Process for producing compds. I [X = CR7, N; Y = CR6, N; R2 = (un)substituted alkyl, cycloalkyl, aryl, etc.; R3 = halo, alkyl, O-alkyl; R4 = (un)substituted cycloalkyl, non aromatic heterocycle, alkyl substituted by cycloalkyl; further detail on R4 is given.; R5 = H, halo, cyano, etc.; R6 = H, halo, alkyl, etc.; R7 = H, halo, alkyl, etc.; R11 = H, (un)substituted alkyl, optionally substituted amino by (un)substituted alkyl; R12 = H, (un)substituted alkyl, aryl; R11 and R12 may combine to form cyclic amino group in cooperation with the adjacent nitrogen.] or their pharmaceutically acceptable salts, characterized by reaction of compds. II [X, Y, R2-R5 = same as above] or active derivs. thereof with NHR11R12 [R11, R12 = same as above], was provided. For example, to a solution of compound III [R = OH; R' = cyclopentyl] (400 mg) in DMF (5.0 mL) was added 1,1'-carbonyldiimidazole (350 mg) at room temperature, the the reaction was stirred at 100 °C for 20 h. The resulting mixture was treated with Et3N (0.2 mL) and glycine Et ester hydrochloride (180 mg) at room temperature for 5 h to give compound III [R = NHCH2CO2Et; R' = cyclopentyl].
In platelet aggregation inhibition assays, compound III [R = NHCH2CH2P(:O)(OH)2; R' = 2,2-dimethyl-1,3-dioxan-5-yl] exhibited the activity of 92%.

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DOCUMENT NUMBER: 145:292885
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Tsukamoto, Kazunari; Kaga, Daisuke; Okuda, Takao; Hirayama, Fukushi; Moritani, Yumiko; Takahashi, Atsushi

PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 95pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
JP 2006225379	A	20060831	JP 2006-9367		20060118
PRIORITY APPLN. INFO.:			JP 2005-12618	A	20050120

OTHER SOURCE(S): MARPAT 145:292885

L12 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN

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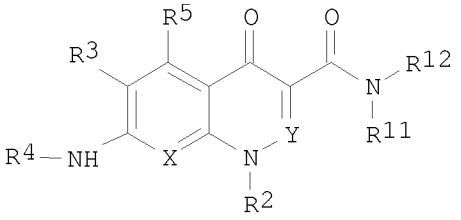

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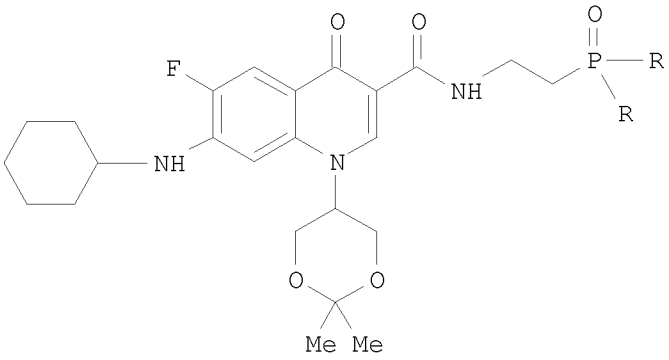

II

AB Title compds. I [X = CR7, N; Y = CR6, N; R11 = H, (un)substituted alkyl, optionally substituted amino by (un)substituted alkyl; R12 = H, (un)substituted alkyl, aryl; R11 and R12 may combine to form a (un)substituted cyclic amino group in cooperation with the adjacent nitrogen; R2 = (un)substituted alkyl, cycloalkyl, aryl, etc.; R3 = halo, alkyl, -O-alkyl; R4 = (un)substituted cycloalkyl, non aromatic heterocycle, alkyl substituted by cycloalkyl; further detail on R4 is given.; R5 = H, halo, cyano, etc.; R6 = H, halo, alkyl, etc.; R7 = H, halo, alkyl, etc.] and their pharmaceutically acceptable salts were prepared For example, Pd/C catalyzed debenzylation of compound II [R = OCH2Ph] under H2 afforded compound II [R = OH]. In platelet aggregation inhibition assays, compound II [R = OH] exhibited the activity of 92%.

ACCESSION NUMBER: 2006:882641 CAPLUS

DOCUMENT NUMBER: 145:292884
TITLE: Preparation of quinolone derivatives as platelet aggregation inhibitors
INVENTOR(S): Watanuki, Susumu; Koga, Yuji; Moritomo, Hiroyuki; Tsukamoto, Kazunari; Kaga, Daisuke; Okuda, Takao; Hirayama, Fukushi; Moritani, Yumiko; Takasaki, Atsushi
PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 95pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2006225378	A	20060831	JP 2006-9349	20060118
PRIORITY APPLN. INFO.:			JP 2005-12561 A	20050120
OTHER SOURCE(S):	MARPAT 145:292884			

L12 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN
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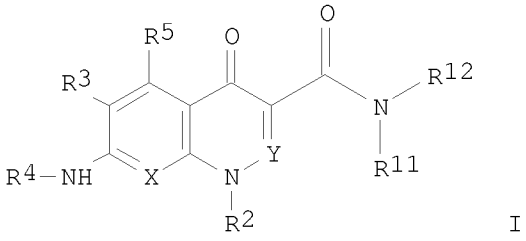

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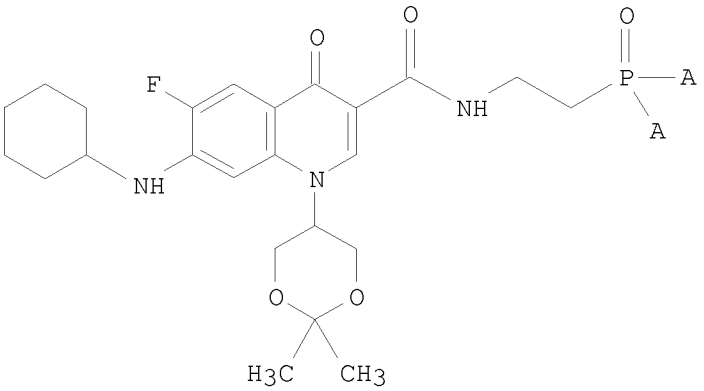

II

AB Title compds. I [X = CR7, N; Y = CR6, N; R11 = H, (un)substituted alkyl, etc.; R12 = H, (un)substituted alkyl, etc.; R2 = (un)substituted alkyl, etc.; R3 = halo, etc.; R4 = (un)substituted cycloalkyl, etc.; R5 = H, halo, etc.; R6 = H, halo, etc.; R7 = H, halo, etc.] were prepared For example, hydrogenolysis of compound II [A = OCH2Ph] afforded compound II [A = OH]. In platelet aggregation inhibition assays, compound II [A = OH] exhibited inhibition activity of 92%. Compds. I are claimed useful as platelet aggregation inhibitors, P2Y12 inhibitors.

ACCESSION NUMBER: 2005:99478 CAPLUS
DOCUMENT NUMBER: 142:197896
TITLE: Preparation of quinolone derivatives as platelet aggregation inhibitors
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PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
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WO 2005009971	A1	20050203	WO 2004-JP10781		20040722
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK					
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			WO 2004-JP10781	W	20040722

OTHER SOURCE(S): MARPAT 142:197896
REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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